Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the specification:

Listing of Claims

1. (currently amended) A pharmaceutical composition comprising:

(a) 5-60% by weight on a dry weight basis of a DPP-IV inhibitor in free form or in acid addition salt form;

(b) 40-95% by weight on a dry weight basis of a pharmaceutically acceptable diluent;

(c) 0-20% by weight on a dry weight basis of a pharmaceutically acceptable disintegrant; and optionally

(d) 0.1-10% by weight on a dry weight basis of a pharmaceutically acceptable lubricant.

2. (currently amended) A composition according to claim 1 comprising:

(a) 20-40% ~~preferably 20-35%~~ by weight on a dry weight basis of a DPP-IV inhibitor in free form or in acid addition salt form;

(b) 40-95% by weight on a dry weight basis of a pharmaceutically acceptable diluent;

(c) 0-10% by weight on a dry weight basis of a pharmaceutically acceptable disintegrant; and optionally

(d) 0.25-6% by weight on a dry weight basis of a pharmaceutically acceptable lubricant.

3. (currently amended) A composition according to claim 1 ~~or claim 2~~, comprising:

(a) 20-35% by weight on a dry weight basis of a DPP-IV inhibitor in free form or in acid addition salt form;

(b) 62-78% by weight on a dry weight basis of a pharmaceutically acceptable diluent;

(c) 0-10% by weight on a dry weight basis of a pharmaceutically acceptable disintegrant; and optionally

(d) 0.1-10% by weight on a dry weight basis of a pharmaceutically acceptable lubricant.

4. (currently amended) A composition according to ~~any one of claims 1 to 3~~ claim 1 comprising:

(a) 22-28% by weight on a dry weight basis of a DPP-IV inhibitor in free form or in acid addition salt form.

5. (currently amended) A composition according to ~~any one of claims 1 to 2~~ claim 1 comprising:

(a) 30-35 % by weight on a dry weight basis of a DPP-IV inhibitor in free form or in acid addition salt form, and

(b) 58-72% by weight on a dry weight basis of a pharmaceutically acceptable diluent;

6. (currently amended) A composition according to ~~any one of claims 1 to 5~~ claim 1 comprising~~:~~:

i) one or two diluents selected from microcrystalline cellulose and lactose

ii) the two diluents microcrystalline cellulose and lactose,

iii) 25-70% ~~preferably 35-55%~~ by weight on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose, or

iv) 25-70% ~~preferably 35-55%~~ by weight on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose and 5-40% ~~preferably 18-35%~~ by weight on a dry weight basis of lactose.

7. (currently amended) A composition according to ~~any one of claims 1 to 6~~ claim 1 comprising~~:~~:

(c) 1-6% by weight on a dry weight basis of a pharmaceutically acceptable disintegrant, and/or

(d) 0.1-10% by weight on a dry weight basis of a pharmaceutically acceptable lubricant.;

8. (currently amended) A composition according to ~~any one of claims 1 to 5~~ claim 1 comprising~~:~~:

(a) 20-35% by weight on a dry weight basis of DPP-IV inhibitor;

(b) 25-70% by weight on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose;

(c) 5-40% by weight on a dry weight basis of a pharmaceutically acceptable lactose;

(d) 0-10% by weight on a dry weight basis of a pharmaceutically acceptable sodium starch glycolate;

(e) 0.25-6% by weight on a dry weight basis of magnesium stearate.

9. (currently amended) A composition according to ~~any one of claims 1 to 5~~ claim 1 comprising~~:~~:

(a) 25-35% by weight on a dry weight basis of a DPP-IV inhibitor in free form or in acid addition salt form;

(b) 25-70% by weight on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose;

(c) 5-40% by weight on a dry weight basis of a pharmaceutically acceptable lactose;

(d) 0-10% by weight on a dry weight basis of a pharmaceutically acceptable sodium starch glycolate;

(e) 0.25-6% by weight on a dry weight basis of magnesium stearate.

10. (currently amended) A composition according to ~~any one of claims 1 to 5~~ claim 1 comprising~~:~~:

(a) 30-35% ~~preferably 30-32%~~ by weight on a dry weight basis of DPP-IV inhibitor e.g. LAF237;

(b) 35-50% ~~preferably 40-45%~~ by weight on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose;

(c) 18-35% ~~preferably 20-25%~~ by weight on a dry weight basis of a pharmaceutically acceptable lactose;

(d) 1-4% ~~preferably 1.5-2.5%~~ by weight on a dry weight basis of a pharmaceutically acceptable sodium starch glycolate; and

(e) 0.5-4% ~~preferably 0.1-2%~~ by weight on a dry weight basis of magnesium stearate.

11. (currently amended) A composition according to ~~any one of claims 1 to 5~~ claim 1 comprising~~:~~:

(a) 20-35% ~~preferably 22-28%~~ by weight on a dry weight basis of DPP-IV inhibitor ~~e.g. LAF237~~;

(b) 35-55% by weight on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose;

(c) 18-35% by weight on a dry weight basis of a pharmaceutically acceptable lactose;

(d) 1-4% by weight on a dry weight basis of a pharmaceutically acceptable sodium starch glycolate; and

(e) 0.5-4% by weight on a dry weight basis of magnesium stearate.

12. (currently amended) A composition according to ~~any one of claims 1 to 5~~ claim 1 comprising~~:~~:

(a) from about 22% to about 28% by weight on a dry weight basis of a DPP-IV inhibitor or a DPP-IV inhibitor of formula (I);

(b) from about 45% to about 50% by weight on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose;

(c) from about 20% to about 25% by weight on a dry weight basis of a pharmaceutically acceptable lactose;

(d) from about 1.5% to about 2.5% by weight on a dry weight basis of a pharmaceutically acceptable sodium starch glycolate; and

(e) from about 0.1% to about 2% by weight on a dry weight basis of magnesium stearate.

13. (currently amended) A composition according to ~~any one of claims 1 to 12~~ claim 1, wherein the DPP-IV inhibitor is selected from 1-{2-[(5-cyanopyridin-2-yl) amino] ethylamino} acetyl-2 (S)-cyano-pyrrolidine dihydrochloride, (S)-1-[(3-hydroxy-1-adamantyl) amino]acetyl-2-cyano-pyrrolidine, L-threo-isoleucyl thiazolidine, MK-0431, GSK23A, BMS-477118, 3-(aminomethyl)-2-isobuthyl-1-oxo-4-phenyl-1,2-dihydro-6-isoquinolinecarboxamide and 2-{[3-(aminomethyl)-2-isobuthyl-4-phenyl-1-oxo-1,2-dihydro-6-isoquinolyl]oxy}acetamide and optionally in any case pharmaceutical salts thereof.

14. (currently amended) A composition according to ~~any one of claims 1 to 12~~ claim 1, wherein the DPP-IV inhibitor is 1-[3-hydroxy-adamant-1-ylamino)-acetyl]-pyrrolidine-2(*S*)-carbonitrile or a pharmaceutical salt thereof.

15. (original) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet, wherein the dispersion contains particles comprising a DPP-IV inhibitor, in free form or in acid addition salt form, and wherein at least 60% of the particle size distribution in the tablet is less than 250 µm.

16. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet wherein the dispersion contains particles comprising DPP-IV inhibitor, in free form or in acid addition salt form, and wherein tablet thickness to tablet weight ratios is of 0.002 to 0.06 mm/mg ~~preferably of 0.01 to 0.03 mm/mg~~.

17. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet wherein the dispersion contains particles comprising DPP-IV inhibitor, in free form or in acid addition salt form, and wherein;

i) at least 60% of the particle size distribution in the tablet is less than 250 µm ~~preferably between 10 to 250 µm~~, and

ii) tablet thickness to tablet weight ratios is of 0.002 to 0.06 mm/mg or of 0.01 to 0.03 mm/mg.

18. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet wherein the dispersion contains particles comprising DPP-IV inhibitor ~~preferably LAF237~~, in free form or in acid addition salt form, and wherein;

i) at least 60% of the particle size distribution in the tablet is less than 250 µm ~~preferably between 10 to 250 µm~~,

ii) the water content of the tablet is less than 10% after 1 week at 25°C and 60% RH, and

iii) tablet thickness to tablet weight ratios is of 0.002 to 0.06 mm/mg.

19. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 18~~ claim 15, wherein the particle size distribution in the tablet is between 50 to 150 µm.

20. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 19~~ claim 15, wherein the water content of the tablet is less than 5% after 1 week at 25°C and 60% RH

21. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 20~~ claim 15, wherein tablet thickness to tablet weight ratios is of 0.01 to 0.03 mm/mg.

22. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 21~~ claim 15, wherein at least 60% or at least 80% of the particle size distribution in the tablet is between 10 to 250 µm.

23. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 21~~ claim 15, wherein at least 25% or at least 35% of the particle size distribution in the tablet is between 50 to 150 µm.

24. (canceled)

25. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 24~~ claim 15, wherein

i) between 0 and 10 minutes 85 to 99.5 % of the active ingredient is released, and

ii) between 10 and 15 minutes 90 to 99.5 % of the active ingredient is released.

26. (currently amended) A compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 24~~ claim 15, wherein the particle size distribution of the pharmaceutical excipients in the tablet is between 5 and 400µm.

27. (currently amended) The compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 26~~ claim 15, in which the DPP-IV inhibitor is selected from 1-{2-[(5-cyanopyridin-2-yl) amino] ethylamino} acetyl-2 (S)- cyano-pyrrolidine dihydrochloride, (S)-1-[(3-hydroxy-1-adamantyl)amino]acetyl-2-cyano-pyrrolidine, L-threo-isoleucyl thiazolidine, MK-0431, GSK23A, BMS-477118, 3-(aminomethyl)-2-isobuthyl-1-oxo-4-phenyl-1,2-dihydro-6-isoquinolinecarboxamide and 2-{[3-(aminomethyl)-2-isobuthyl-4-phenyl-1-oxo-1,2-dihydro-6-isoquinolyl]oxy}acetamide and optionally in any case pharmaceutical salts thereof.

28. (currently amended) The compressed pharmaceutical tablet or a direct compressed pharmaceutical tablet according to ~~any one of claims 15 to 26~~ claim 15, in which the DPP-IV inhibitor is *N*-(substituted glycyl)-2-cyanopyrrolidine is 1-[3-hydroxy- adamant-1-ylamino)-acetyl]-pyrrolidine-2(*S*)-carbonitrile or a pharmaceutical salts thereof.

29. (currently amended) A compressed pharmaceutical tablet according to ~~any one of claims 15 to 28~~ claim 15, which is a direct compressed tablet.

30. (currently amended) A solid dosage form of the composition according to ~~any one of Claims 1 to 14~~ claim 1.

31. (original) The solid dosage form of Claim 30 which is a tablet.

32. (original) The solid dosage form of Claim 30 which is a capsule.

33. (currently amended) A solid dosage form of the composition according to ~~any one of Claims 1 to 14~~ claim 1 which is a ~~compressed tablet preferably a~~ direct compressed tablet.

34. (currently amended) Process for preparing a direct compressed tablet according to ~~any one of claims 15 to 29~~ claim 15, in unit dosage form, which comprises:

(a) blending as a % by weight on a dry weight basis:

(i) 6-60% by weight on a dry weight basis of DPP-IV inhibitor ~~e.g. LAF237~~; and

(ii) and at least one excipient selected from a diluent, a disintegrant and a lubricant,

to form a DPP-IV inhibitor formulation in the form of a tableting powder, capable of being directly compressed into a tablet; and

(b) compressing the formulation prepared during step (a) to form the compressed DPP-IV inhibitor tablet in unit dosage form.

35. (currently amended) Process for preparing a direct compressed tablet according to ~~any one of claims 15 to 29~~ claim 15, in unit dosage form, which comprises:

(a) blending as a % by weight on a dry weight basis:

(i) 25-35% by weight on a dry weight basis of DPP-IV inhibitor ~~e.g. LAF237~~;

(ii) 40-95% by weight on a dry weight basis of a pharmaceutically acceptable diluent;

(iii) 0-10% by weight on a dry weight basis of a pharmaceutically acceptable disintegrant; and

(iv) 0.25-6% by weight on a dry weight basis of a pharmaceutically acceptable lubricant,

to form a DPP-IV inhibitor formulation in the form of a tableting powder, capable of being directly compressed into a tablet; and

(b) compressing the formulation prepared during step (a) to form the compressed DPP-IV inhibitor tablet in unit dosage form.

36. (currently amended) Process according to claim 35 wherein the blended formulation comprises:

(i) 20-35% or preferably 25-30% by weight by weight on a dry weight basis of DPP-IV inhibitor ~~preferably LAF237~~, in free form or in acid addition salt form;

(ii) 25-70% by weight ~~or preferably 35-50% by weight~~ on a dry weight basis of a pharmaceutically acceptable microcrystalline cellulose ~~such as Avicel PH 102~~;

(iii) 5-40% by weight ~~or preferably 18-35% by weight~~ on a dry weight basis of a pharmaceutically acceptable lactose;

(iv) 0-10% by weight ~~or preferably 1-4% by weight~~ on a dry weight basis of a pharmaceutically acceptable sodium starch glycolate; and

(v) 0.25- 6% by weight ~~or preferably 0.5-4% by weight~~ on a dry weight basis of a pharmaceutically acceptable magnesium stearate.

37. (canceled)

38. (currently amended) The process according to ~~any one of claims 34 to 37~~ claim 34, in which the DPP-IV inhibitor is selected from 1-{2-[(5-cyanopyridin-2-yl) amino] ethylamino} acetyl-2 (S)-cyano-pyrrolidine dihydrochloride, (S)-1-[(3-hydroxy-1-adamantyl)amino]acetyl-2-cyano-pyrrolidine, L-threo-isoleucyl thiazolidine, MK-0431, GSK23A, BMS-477118, 3-(aminomethyl)-2-isobuthyl-1-oxo-4-phenyl-1,2-dihydro-6- isoquinolinecarboxamide and 2-{[3-(aminomethyl)-2-isobuthyl-4- phenyl-1-oxo-1,2-dihydro-6-isoquinolyl]oxy}acetamide and optionally in any case pharmaceutical salts thereof.

39. (currently amended) The process according to ~~any one of claims 34 to 37~~ claim 34, in which the which the DPP-IV inhibitor is 1-[3-hydroxy-adamant-1-ylamino)-acetyl]-pyrrolidine-2(*S*)-carbonitrile or pharmaceutical salts thereof.

40. (currently amended) A pharmaceutical composition comprising;

(a) a DPP-IV inhibitor in free form or in acid addition salt form,

(b) a pharmaceutically acceptable diluent,

wherein in the unit dosage form, the weight of DPP-IV inhibitor on a dry weight basis to tablet weight of diluent ratio is of 0.5 to 0.25, ~~preferably 0.4 to 0.28.~~

41. (original) A composition according to claim 40 wherein the diluent is selected from a microcrystalline cellulose and lactose.

42. (currently amended) A composition according to claim 40 ~~or claim 41~~, wherein at least one diluent is a microcrystalline cellulose and wherein in the unit dosage form, the weight of DPP-IV inhibitor on a dry weight basis to tablet weight of microcrystalline cellulose ratio is of 2 to 0.333, ~~preferably 1 to 0.333, most preferably of 0.7 to 0.333~~.

43. (currently amended) A composition according to ~~claim 42 or~~ claim 40 comprising lactose as diluent in addition to a microcrystalline cellulose.

44. (currently amended) Composition according to ~~any of claims 40 to 43~~ claim 40 wherein the DPP-IV inhibitor is selected from 1-{2-[(5-cyanopyridin-2-yl) amino] ethylamino} acetyl-2 (S)-cyano-pyrrolidine dihydrochloride, (S)-1-[(3-hydroxy-1-adamantyl) amino]acetyl-2-cyano-pyrrolidine, L-threo-isoleucyl thiazolidine, MK-0431, GSK23A, BMS-477118, 3-(aminomethyl)-2-isobuthyl-1-oxo-4-phenyl-1,2-dihydro-6-isoquinolinecarboxamide and 2-{[3-(aminomethyl)-2-isobuthyl-4-phenyl-1-oxo-1,2-dihydro-6-isoquinolyl]oxy}acetamide and optionally in any case pharmaceutical salts thereof.

45. (currently amended) Composition according to ~~any of claims 40 to 43~~ claim 40 wherein the DPP-IV inhibitor is 1-[3-hydroxy-adamant-1-ylamino)-acetyl]-pyrrolidine-2(*S*)-carbonitrile or pharmaceutical salts thereof.

46. (currently amended) Composition according to ~~any of claims 1 to 33 or 40 to 45~~ claim 1, comprising between 20 and 120 mg ~~preferably between 25 and 100 mg~~ of 1-[3-hydroxy-adamant-1-ylamino)-acetyl]-pyrrolidine-2(*S*)-carbonitrile or a pharmaceutically acceptable acid addition salt thereof.

47. (currently amended) Composition according to ~~any of claims 40 to 46~~ claim 40, which further comprises~~;~~:

(c) 0-20% by weight on a dry weight basis of a pharmaceutically acceptable disintegrant;

(d) 0.1-10% by weight on a dry weight basis of a pharmaceutically acceptable lubricant.

48. (currently amended) Composition according to ~~any of claims 40 to 47~~ claim 40, which further comprises~~;~~:

(c) 1-6% by weight on a dry weight basis of a pharmaceutically acceptable disintegrant;

(d) 0.25-6% by weight on a dry weight basis of a pharmaceutically acceptable lubricant.

49. (currently amended) Composition according to ~~any of claims 40 to 48~~ claim 40, which further comprises~~;~~:

(c) 1-4% by weight on a dry weight basis of a pharmaceutically acceptable sodium starch glycolate; and

(d) 0.5-4% by weight on a dry weight basis of magnesium stearate.

50. (canceled)

51. (canceled)

52. (currently amended) The ~~Composition~~ composition according to ~~any of claims 40 to 48~~ claim 40 which is a tablet.

53. (currently amended) The composition according to ~~any of claims 40 to 48~~ claim 40 which is a capsule.

54. (currently amended) A compressed pharmaceutical tablet, ~~preferably a direct compressed tablet,~~ comprising a DPP-IV inhibitor, in free form or in acid addition salt form.

55. (original) A compressed pharmaceutical tablet according to claim 54, wherein the DPP-IV inhibitor is selected from 1-{2-[(5-cyanopyridin-2-yl) amino] ethylamino} acetyl-2 (S)- cyano-pyrrolidine dihydrochloride, (S)-1-[(3-hydroxy-1-adamantyl)amino]acetyl-2-cyano-pyrrolidine, L-threo-isoleucyl thiazolidine, MK-0431, GSK23A, BMS-477118, 3-(aminomethyl)-2-isobuthyl-1-oxo-4-phenyl-1,2-dihydro-6-isoquinolinecarboxamide and 2-{[3-(aminomethyl)-2-isobuthyl-4-phenyl-1-oxo-1,2-dihydro-6-isoquinolyl]oxy}acetamide and optionally in any case pharmaceutical salts thereof.